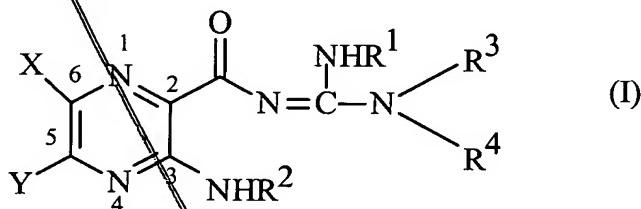


Claims:

1. A compound represented by formula (I):



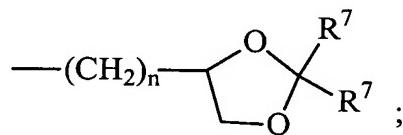
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or  $-N(R^2)_2$ ;

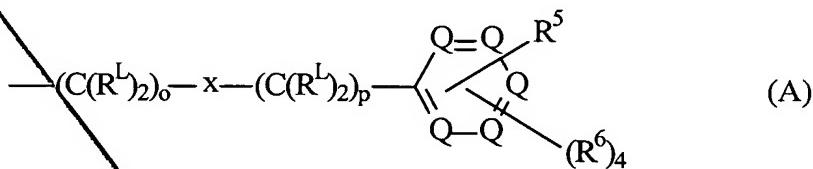
$R^1$  is hydrogen or lower alkyl;

each  $R^2$  is, independently,  $-R^7$ ,  $-(CH_2)_m-OR^8$ ,  $-(CH_2)_m-NR^7R^{10}$ ,  
 $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,  
 $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-Z_g-R^7$ ,  $-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2)_n-CO_2R^7$ , or



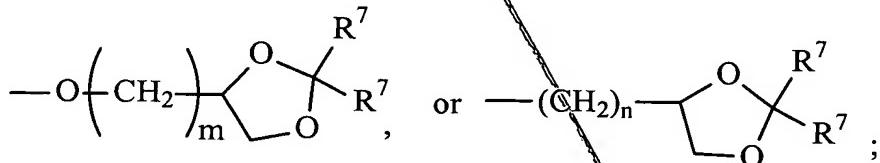
$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

*Q*  
Cont'd  
pyridyl- lower alkyl, with the proviso that at least one of R<sup>3</sup> and R<sup>4</sup> is a group represented by formula (A):



wherein

each R<sup>L</sup> is, independently, -R<sup>7</sup>, -(CH<sub>2</sub>)<sub>n</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



each o is, independently, an integer from 0 to 10;

each p is an integer from 0 to 10;

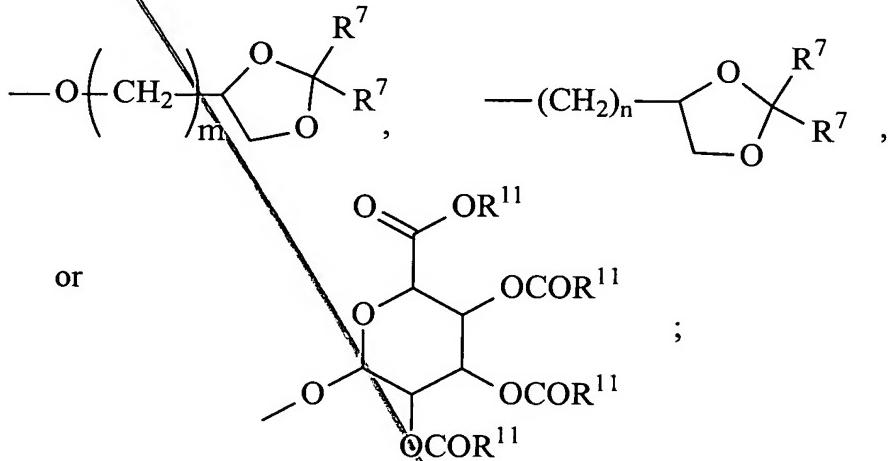
with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O, NR<sup>10</sup>, C(=O), CHO, C(=N-R<sup>10</sup>), CHNR<sup>7</sup>R<sup>10</sup>, or represents a single bond;

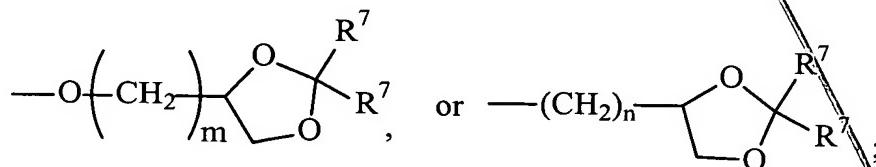
each R<sup>5</sup> is, independently, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>,

at  
cont

~~-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,~~



~~each R<sup>6</sup> is, independently, -R<sup>7</sup>, -OR<sup>11</sup>, -N(R<sup>7</sup>)<sub>2</sub>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,~~

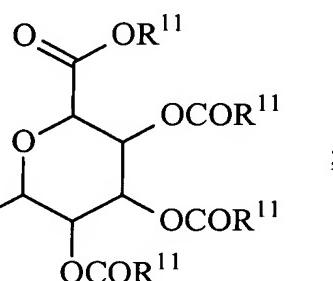


~~wherein when two R<sup>6</sup> are -OR<sup>11</sup> and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R<sup>6</sup> may be bonded together to form a methylenedioxy~~

group;

each R<sup>7</sup> is, independently, hydrogen or lower alkyl;

each R<sup>8</sup> is, independently, hydrogen, lower alkyl, -C(=O)-R<sup>11</sup>, glucuronide, 2-tetrahydropyranyl, or



each R<sup>9</sup> is, independently, -CO<sub>2</sub>R<sup>7</sup>, -CON(R<sup>7</sup>)<sub>2</sub>, -SO<sub>2</sub>CH<sub>3</sub>, or -C(=O)R<sup>7</sup>;

each R<sup>10</sup> is, independently, -H, -SO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>R<sup>7</sup>, -C(=O)NR<sup>7</sup>R<sup>9</sup>, -C(=O)R<sup>7</sup>, or -CH<sub>2</sub>-(CHOH)<sub>n</sub>-CH<sub>2</sub>OH;

each Z is, independently, CHO<sub>H</sub>, C(=O), CHNR<sup>7</sup>R<sup>10</sup>, C=NR<sup>10</sup>, or NR<sup>10</sup>;

each R<sup>11</sup> is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, C-R<sup>5</sup>, C-R<sup>6</sup>, or a nitrogen atom, wherein at most three Q in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

2. The compound of Claim 1, wherein Y is -NH<sub>2</sub>.

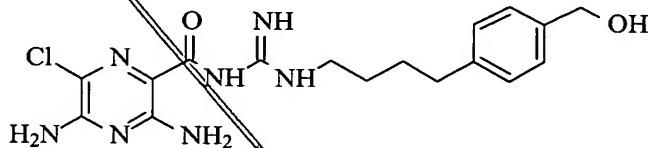
3. The compound of Claim 2, wherein R<sup>2</sup> is hydrogen.

4. The compound of Claim 3, wherein R<sup>1</sup> is hydrogen.

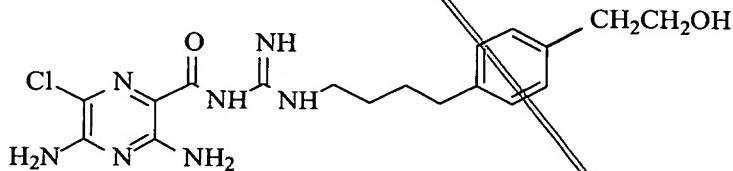
5. The compound of Claim 4, wherein X is chlorine.

- Q1*
6. The compound of Claim 5, wherein R<sup>3</sup> is hydrogen.
  7. The compound of Claim 6, wherein each R<sup>L</sup> is hydrogen.
  8. The compound of Claim 7, wherein o is 4.
  9. The compound of Claim 8, wherein p is 0.
  10. The compound of Claim 9, wherein x represents a single bond.

11. The compound of Claim 10, wherein each R<sup>6</sup> is hydrogen.
12. The compound of Claim 11, wherein at most one Q is a nitrogen atom.
13. The compound of Claim 12, wherein no Q is a nitrogen atom.
14. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.
15. The compound of Claim 14, which is represented by the formula:



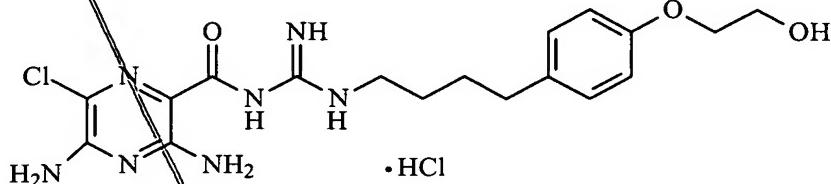
16. The compound of Claim 14, which is represented by the formula:



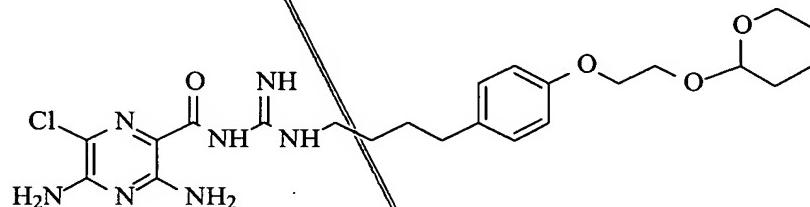
17. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-QR<sup>8</sup>.

*Q<sup>1</sup>*  
*cont*

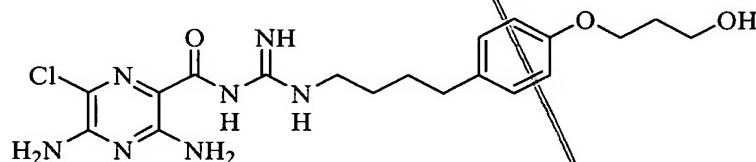
18. The compound of Claim 17, which is represented by the formula:



19. The compound of Claim 17, which is represented by the formula:



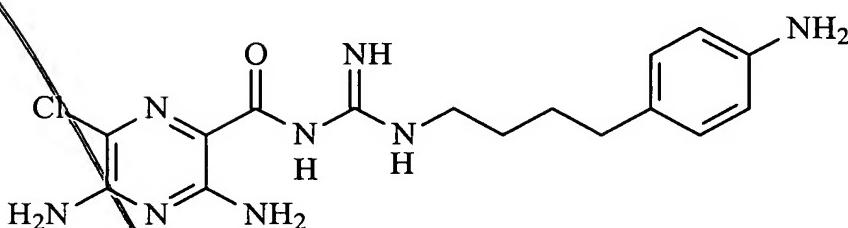
20. The compound of Claim 17, which is represented by the formula:



21. The compound of Claim 13, wherein  $\text{R}^5$  is  $-(\text{CH}_2)_n-\text{NR}^7\text{R}^{10}$ .

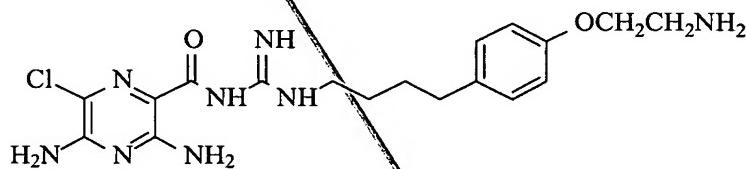
22. The compound of Claim 21, which is represented by the formula:

Q  
Com

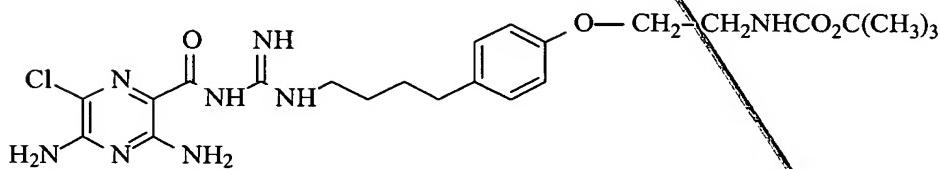


23. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>.

24. The compound of Claim 23, which is represented by the formula:



25. The compound of Claim 23, which is represented by the formula:

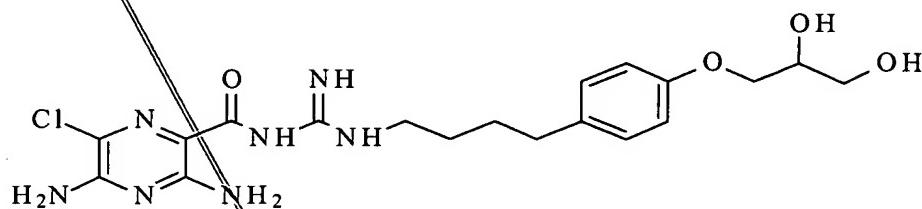


26. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

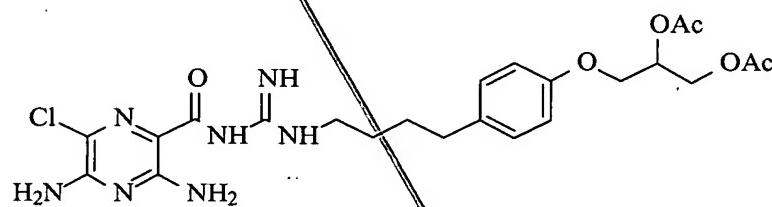
27. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

28. The compound of Claim 27, which is represented by the formula:

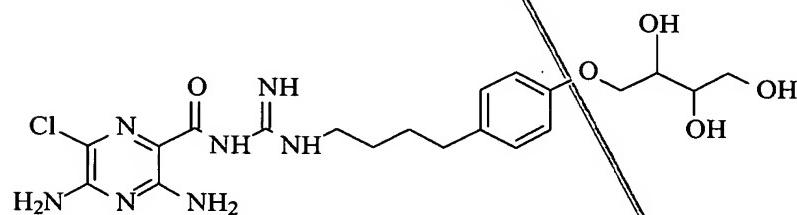
Q  
Cont



29. The compound of Claim 27, which is represented by the formula:

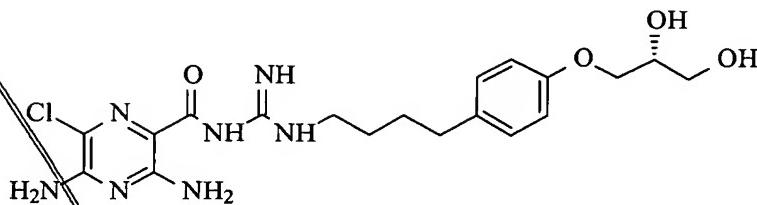


30. The compound of Claim 27, which is represented by the formula:

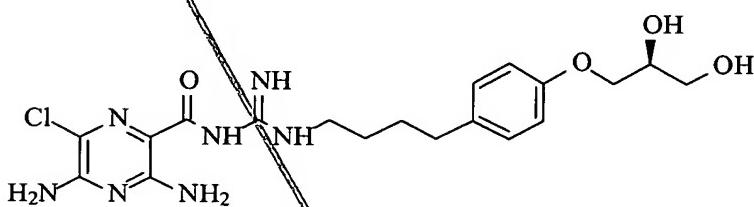


31. The compound of Claim 27, which is represented by the formula:

*Q1  
Cont*



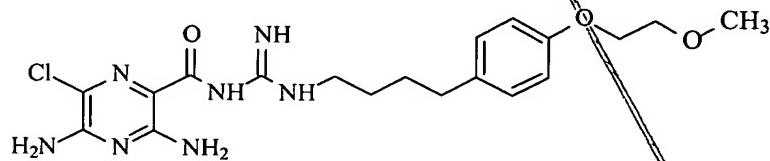
32. The compound of Claim 27, which is represented by the formula:



33. The compound of Claim 13, wherein  $R^5$  is  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ .

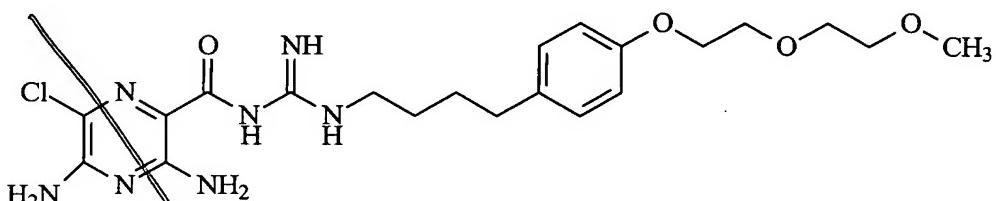
34. The compound of Claim 13, wherein  $R^5$  is  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ .

35. The compound of Claim 34, which is represented by the formula:

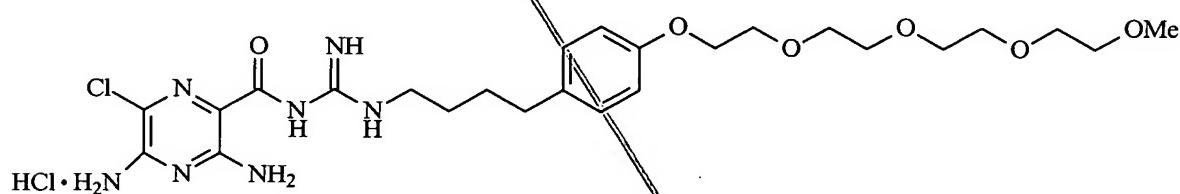


36. The compound of Claim 34, which is represented by the formula:

A' Cont



37. The compound of Claim 34, which is represented by the formula:



38. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

39. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

40. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

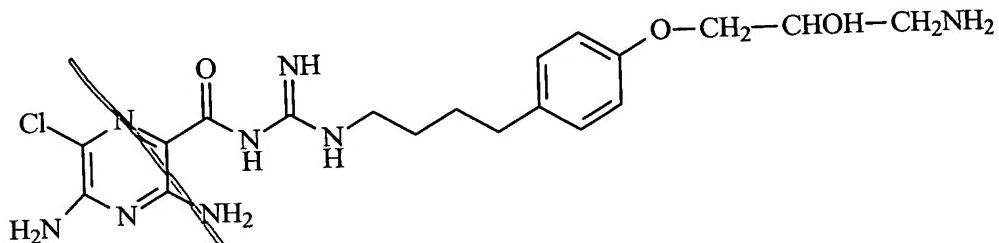
41. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

42. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

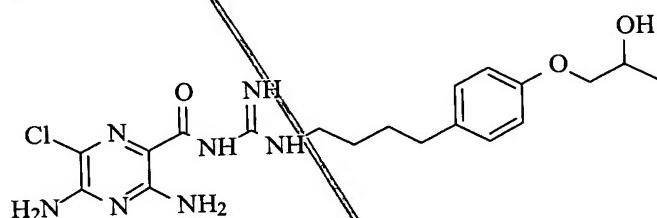
43. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

44. The compound of Claim 43, which is represented by the formula:

*a  
cont*



45. The compound of Claim 43, which is represented by the formula:



46. The compound of Claim 13, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

47. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

48. The compound of Claim 13, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>.

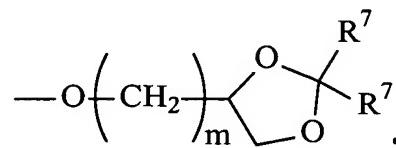
49. The compound of Claim 13, wherein R<sup>5</sup> is -OSO<sub>3</sub>H.

50. The compound of Claim 13, wherein R<sup>5</sup> is -O-glucuronide.

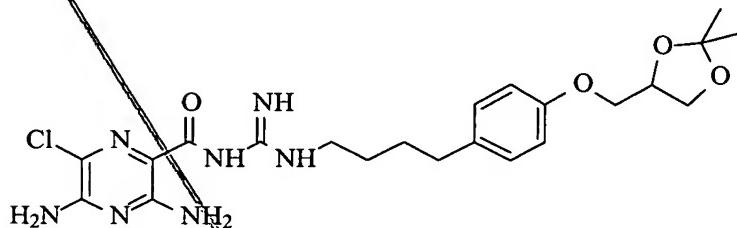
51. The compound of Claim 13, wherein R<sup>5</sup> is -O-glucose.

52. The compound of Claim 13, wherein R<sup>5</sup> is

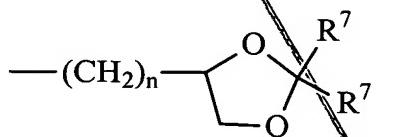
*a  
Cont*



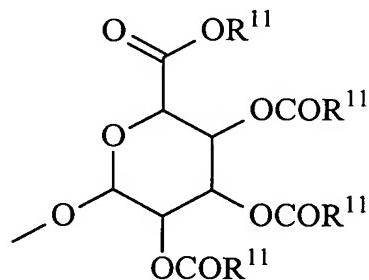
53. The compound of Claim 52, which is represented by the formula:



54. The compound of Claim 13, wherein R<sup>5</sup> is

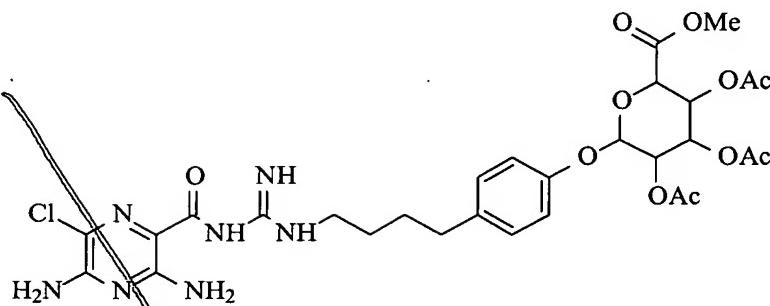


55. The compound of Claim 13, wherein R<sup>5</sup> is



56. The compound of Claim 55, which is represented by the formula:

*Q1  
unit*



57. The compound of Claim 1, wherein

- X is halogen;
- Y is  $-N(R^7)_2$ ;
- $R^1$  is hydrogen or  $C_1-C_3$  alkyl;
- $R^2$  is  $-R^7$ ,  $-(CH_2)_m-OR^8$ , or  $-(CH_2)_n-CO_2R^7$ ;
- $R^3$  is a group represented by formula (A); and
- $R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

58. The compound of Claim 57, wherein

- X is chloro or bromo;
- Y is  $-N(R^7)_2$ ;
- $R^2$  is hydrogen or  $C_1-C_3$  alkyl;
- at most three  $R^6$  are other than hydrogen as defined above;
- at most three  $R^L$  are other than hydrogen as defined above; and
- at most 2 Q are nitrogen atoms.

59. The compound of Claim 58, wherein Y is  $-NH_2$ .

60. The compound of Claim 59, wherein  $R^4$  is hydrogen;  
at most one  $R^L$  is other than hydrogen as defined above;  
at most two  $R^6$  are other than hydrogen as defined above; and  
at most 1 Q is a nitrogen atom.

- a  
cont*
61. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.
  62. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.
  63. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>.
  64. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>.
  65. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.
  66. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.
  67. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.
  68. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.
  69. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.
  70. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.
  71. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.
  72. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.
  73. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.
  74. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.
  75. The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

*Q*  
*Cont*

76. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

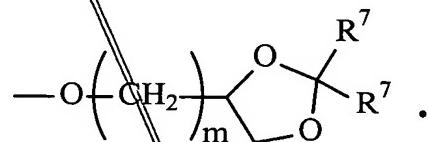
77. The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>.

78. The compound of Claim 1, wherein R<sup>5</sup> is -OSO<sub>3</sub>H.

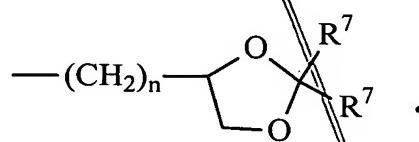
79. The compound of Claim 1, wherein R<sup>5</sup> is -O-glucuronide.

80. The compound of Claim 1, wherein R<sup>5</sup> is -O-glucose.

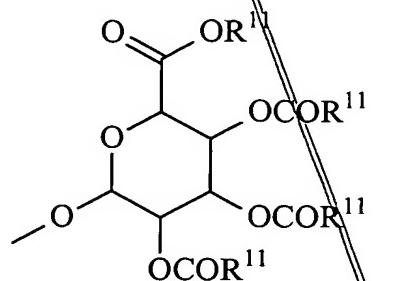
81. The compound of Claim 1, wherein R<sup>5</sup> is



82. The compound of Claim 1, wherein R<sup>5</sup> is



83. The compound of Claim 1, wherein R<sup>5</sup> is



*Q1  
cut*

84. The compound of Claim 1, wherein x is a single bond.
85. The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.
86. A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.
87. A method of promoting hydration of mucosal surfaces, comprising: administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.
88. A method of restoring mucosal defense, comprising: topically administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject in need thereof.
89. A method of blocking sodium channels, comprising: contacting sodium channels with an effective amount of the compound of Claim 1.
90. A method of treating chronic bronchitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
91. A method of treating cystic fibrosis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
92. A method of treating sinusitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
93. A method of treating vaginal dryness, comprising:

*Q1  
cont*

administering an effective amount of the compound of Claim 1 to the vaginal tract of a subject in need thereof.

94. A method of treating dry eye, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject in need thereof.

95. A method of promoting ocular hydration, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject.

96. A method of promoting corneal hydration, comprising:  
administering an effective amount of the compound of Claim 1 to the eye of a subject.

97. A method of promoting mucus clearance in mucosal surfaces, comprising:  
administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.

98. A method of treating Sjogren's disease, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

99. A method of treating distal intestinal obstruction syndrome, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

100. A method of treating dry skin, comprising:  
administering an effective amount of the compound of Claim 1 to the skin of a subject in need thereof.

101. A method of treating esophagitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

*Q1*  
*Cont*

102. A method of treating dry mouth (xerostomia), comprising:  
administering an effective amount of the compound of Claim 1 to the mouth of a  
subject in need thereof.

103. A method of treating nasal dehydration, comprising:  
administering an effective amount of the compound of Claim 1 to the nasal passages  
of a subject in need thereof.

104. The method of Claim 103, wherein the nasal dehydration is brought on by  
administering dry oxygen to the subject.

105. A method of preventing ventilator-induced pneumonia , comprising:  
administering an effective amount of the compound of Claim 1 to a subject on a  
ventilator.

106. A method of treating asthma, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

107. A method of treating primary ciliary dyskinesia, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

108. A method of treating otitis media, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

109. A method of inducing sputum for diagnostic purposes, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

*Q*  
*Cont*

110. A method of treating chronic obstructive pulmonary disease, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

111. A method of treating emphysema, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

112. A method of treating pneumonia, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

113. A method of treating constipation, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

114. The method of Claim 113, wherein the compound is administered orally or via a  
suppository or enema.

115. A method of treating chronic diverticulitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

116. A method of treating rhinosinusitis, comprising:  
administering an effective amount of the compound of Claim 1 to a subject in need  
thereof.

117. A composition, comprising:  
the compound of Claim 1; and  
a P2Y2 inhibitor.

*Q*  
*Cont*

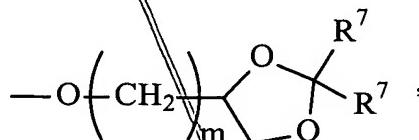
118. A composition, comprising:

the compound of Claim 1; and

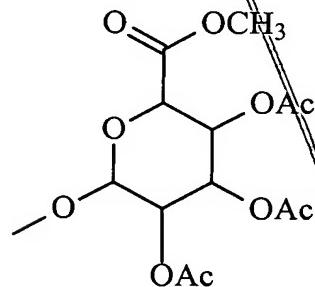
a bronchodilator.

119. The compound of Claim 1, wherein R<sup>5</sup> is selected from the group consisting of

-O-(CH<sub>2</sub>)<sub>3</sub>-OH, -NH<sub>2</sub>, -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH,  
-O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-2-yl, -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide,  
-O-CH<sub>2</sub>CH<sub>2</sub>OH, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>,  
-O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>,  
-OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, -CH<sub>2</sub>OH, -CO<sub>2</sub>CH<sub>3</sub>,



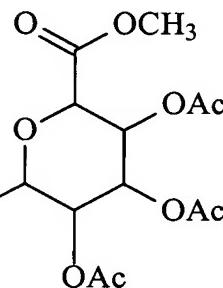
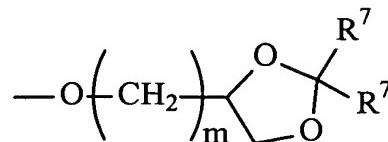
and



120. The compound of Claim 1, wherein R<sup>5</sup> is selected from the group consisting of para -O-(CH<sub>2</sub>)<sub>3</sub>-OH, para -NH<sub>2</sub>, para -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, ortho -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, meta -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, para -O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-2-yl, para -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide, para -O-CH<sub>2</sub>CH<sub>2</sub>OH, para -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, para -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, para -O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, para -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>, -OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, para -CH<sub>2</sub>OH, para -CO<sub>2</sub>CH<sub>3</sub>, para -SO<sub>3</sub>H, para -O-glucuronide, para

*Q1  
cont*

and  
para



121. The compound of Claim 119, wherein  
X is chloro or bromo;  
Y is -N(R<sup>7</sup>)<sub>2</sub>;  
R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;  
R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;  
R<sup>3</sup> is a group represented by formula (A); and  
R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl;  
at most three R<sup>6</sup> are other than hydrogen as defined above;  
at most three R<sup>L</sup> are other than hydrogen as defined above; and  
at most 2 Q are nitrogen atoms.

122. The compound of Claim 121, wherein  
R<sup>4</sup> is hydrogen;  
at most one R<sup>L</sup> is other than hydrogen as defined above;  
at most two R<sup>6</sup> are other than hydrogen as defined above; and  
at most 1 Q is a nitrogen atom.

*Q*  
*Cont*

123. The compound of Claim 120, wherein

X is chloro or bromo;

Y is  $N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1-C_3$  alkyl;

$R^2$  is hydrogen or  $C_1-C_3$  alkyl;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

at most three  $R^6$  are other than hydrogen as defined above;

at most three  $R^L$  are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

124. The compound of Claim 123, wherein

$R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above;

at most two  $R^6$  are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

2025 RELEASE UNDER E.O. 14176